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L4 ANSWER 1 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:28399
TITLE:
CDB-4453, are potent antiprogestins with reduced antiplucocorticoid activity: in vitro comparison to mifepristone and CDB-2914
AUTHOR(S):
AUTHOR(S):
CORPORATE SOURCE:
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SOURCE:
CORPORATE SOURCE:
CO

AUTHOR (S):

Molecular and Cellular Endocrinology (2002), 108(1-2), 111-123
CODEN: MCEND6; ISSN: 0303-7207

PUBLISHER: Elsevier Science Ireland Ltd.

MCCUMENT TYPE: Journal
LANGUAGE: English

AB To obtain selective antiprogestins, we have examd, the in vitro antiprogestational/antiglucocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progestin receptors (FR) and recombinant human FR-A and PR-B (rhPR-A, rhPR-B) and were potent inhibitors of A5020-induced transactivation of the PRE2-tk-luciferase (PRE2-tk-LUC) reporter plasmid and endogenous alk, phosphatase prodn. in T47D-C human breast cancer cells. None of chase compds. exhibited aponist activity in these cells. Induction of luciferase activity was potentiated about five-fold by B-Br-CAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PRE2-tk-LUC was assessed in HepG2 human hepatoblastoma cells. Mifepristone exhibited greater antiglucocorticoid activity than CDB-2914, 142, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124 and 4653, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124 and 4653, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antiglucocorticoid activity than mifeprist

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
115:304062
115:304062
117:11E:
118:304062
119-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S):
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PRIORITY APPLM. INFO.:

US 2000-526855 A 20000317

US 2000-526855 A 20000317

OTHER SOURCE(S):

MARPAT 135:304062

A 19-Norpregna-4,9-diene-3,20-dione derivs. [I, Rl = OMe, SMe, NMe2, NEMe, NC4H8, NC5H10, NC4H80, CH0, CH(OH)He, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10, R2 = H, halogen, slkyl, acyl, hydroxy, alkoy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6, R6 = alkyl, alkoxy ester, alkoxy, R3 = alkyl, hydroxy, alkoxy and acyloxy, R4 = H, alkyl; X = 0, [substituted] NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometricals; to treat dymenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrical proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd, from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10), 9(11)-diene and 4-bromo-N.N-dimethylantline in 9 steps which showed 2.79 times the antiprogestational potency in the anticlauberg test compared to CDB-2914.

IT 188414-09-4P, CDB 4102 188414-31-2P, CDB 4124

188414-09-4P, CDB 4102 188414-31-2P, CDB 4124

188414-09-4P, CDB 4102 188414-31-2P, CDB 4124

(Therapeutic use); BIOL (Biological study); PREP (Preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-28-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted-19-norpregnadienedione as new antiprogestational agents) 198414-09-4 CAPLUS
19-Norpregna-4.9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl)-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-60-0 CAPLUS

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 19-Norpregna-4,9-diene-3, 20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

198414-05-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (SCI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

Absolute stereochemistry. Rotation (+).

198414-33-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-41-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

198414-07-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-11-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-22-1 CAPLUS Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN NAME) (Continued)

Absolute stereochemistry. Double bond geometry unknown.

198414-43-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365415-80-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

365416-26-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.

365416-28-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-58-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-l1-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-64-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-67-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-68-8 CAPLUS

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-61-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-62-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-63-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4(dimethylamino)phenyl]-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

365416-69-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-70-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-71-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-72-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-73-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSVER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
198413-96-69 198413-97-79 198413-98-89
198413-99-99 198414-00-59 198414-21-09
198414-42-19 198414-22-39 198414-81-89-9
198414-42-59 365416-07-59 365416-08-69
365416-17-79 365416-18-89 365416-19-99
365416-20-29 365416-21-39 365416-22-4P
365416-48-49 365416-49-59
RE: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and
21-substituted 19-norprepnadienedione as new antiprogestational agents)
198413-96-6 CAPLUS
198413-96-6 CAPLUS
19-Norprepna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198413-98-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-[dimethylamino]pheny1]-17,21-dihydroxy-, [11.beta.]- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

365416-74-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-75-7 CAPLUS Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-{4-(dimethylamino)phenyl]-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198413-99-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-00-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl-, (11.beta.,17.alpha.)- (9C1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-32-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-38-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-08-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-hydroxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-17-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-18-8 CAPLUS
19-diene-3,20-diene, ll-[4-(dimethylamino)phenyl]-17-hydroxy-21-(1-oxopropoxy)-, (ll.beta.)- (SCI | CA | NDEX | NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN 17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

198414-42-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-07-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-chloro-17-hydroxy-11-{4-{1-piperidinyl}phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-19-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-20-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-21-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-22-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-thiocyanato-, (11.bets.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-48-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, ll-[4-(dimethylamino)phenyl]-17-hydroxy-21-[[methoxyacetyl)oxy]-, (ll.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-27-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-49-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-23-5P 365416-27-9P

365416-23-59 365416-27-99
RE: SPN (Synthetic preparation); PREF (Preparation)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogestational agents)
365416-23-5 CAPUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-21(formyloxy)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:489415 CAPLUS DOCUMENT NUMBER: 135:61476 DOCUMENT NUMBER: TITLE: Process for the preparation of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for preparing such intermediates intermediates
Kim, Hyun Koo; Rao, Pemmaraju N.; Cessac, James W.;
Simmons, Anne Marie
United States Dept. of Health and Human Services, USA
PCT Int. Appl., 50 pp.
CODEN: PIXXD2 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: WO 2000-US35479 2000 PATENT NO. WO 2001047945 A1 20010705 W0 2000-US35479 0001229

V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FII, GB, GD, GE, GH, CM, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, WH, MX, MZ, MZ, MZ, LC, LK, LR, LS, LT, YU, 2A, 2W, AM, AZ, BY, KG, KZ, HT, RT, TZ, UA, UG, US, UZ, VM, YU, 2A, 2W, AM, AZ, BY, KG, KZ, MD, RW, TJ, TH

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, ZZ, UG, ZW, AT, BE, CH, CY, DE, DM, CF, CG, CI, CM, GA, GN, GW, H, MR, NE, SN, TD, TG

AU 2001026048 A5 2010709 AV 2001-26048 20001229

ER: AT, BE, CH, DE, DK, ES, FT, FB, GR, GR, LT, LI, LU, NL, NL, SE, MC, PT, ILS, SI, LT, LV, FT, RO, MK, CY, AL, TR

US 2003060666 A1 20030327 US 2002-169139 20020627

PRIORITY APPIN. INFO::

CASREAT SIGNATOR

CASREAT SIGNATOR

AV 2001-2013479 Y 200001229

OTHER SOURCE(S):

CASREAT SIGNATOR

CASREAT SIGNATOR

AV 2001-201535479 Y 200001229

COTHER SOURCE(S):

CASREAT SIGNATOR

AV 2001-201535479 Y 200001229 KIND DATE PRIORITY APPLN. INFO.:

US 1999-173470P P 19991229

OTHER SOURCE(S):

CASREACT 55:61476

AB A process for prepg. the antiprogestational agent, 17.alpha.-acetoxy11.beta.-[4-N.N-(dimethylam/no)phenyl)-21-methoxy-19-norpregna-4,9-dien
-3,20-dione (1), intermediates useful in the process, and processes for prepg. such intermediates useful in the process, and processes for prepg. such intermediates useful in the process, and processes for prepg. such intermediates from cynaohydrin II. The synthetic sequence involved replacing the yanohydrin group of II with a chloroacety1 group and a hydroxyl groupy replacing the chloro group of the resulting compd. with an acetoxy group deacety1ating the resulting compd. selectively ketalizing the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; selectively oxidizing the 20-hydroxyl group to a vicin group; and acetylating the resulting compd. selectively oxidizing the 20-hydroxyl group to a vicin group; and acetylating the resulting compd.

The 198414-30-14

RE: IMF (jndustrial manufacture); RCT (Reactant); SPN (Symphatic) 198414-30-16
RI: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparat/on); PREF (Freparation); RACT (Reactant or reagent) (profess for the prepn of 17.alpha.-acetoxy-11.beta.-[4-N,N-(digstylamino)phenyl]-21-methoxy-19-norpregna-4, 9-diene-3, 20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
198414-30-1 CAPLUS

19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN 21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

198414-31-2P

198414-31-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-{4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
198414-31-2 CAPLUS
19Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
328537-10-6P 228537-11-7P 328537-12-8P
328537-25-3P 228537-27-5P 328537-35-5P
328537-31-1P 228537-33-3P 328537-35-5P
328537-48-0P 328537-49-1P 328537-53-7P
328537-51-5P 328537-52-6P 328537-53-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17. beta.-acyl-17. alpha.-propynyl-11.beta.-(cyclic amino) arylsteroids with antiprogestational activity)
328535-36-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-37-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholiny1)pheny1]-17-(3,3,3-trifluoro-1-propyny1)-, (11.beta.)- (9CI) (CA INDEX NAME)

328535-38-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(4-morpholinyl)phenyl]-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

```
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:185774 CAPLUS
                                                                  2001:185774
134:208009
                                                                134:208009
Preparation of 17.beta.-acyl-17.alpha.-propynyl-
11.beta.-(cyclic amino) aryl steroids and their
derivatives having antagonist hormonal properties
Cook, C. Edgar, Kepler, John A.; O'Reilly, Jill M.
Research Triangle Institute, USA
PCT Int. Appl., 70 pp.
CODEN: PIXXD2
Patent
English
1
DOCUMENT NUMBER:
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO.
                                                         KIND DATE
                                                                                                                 APPLICATION NO. DATE
```

FER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Je-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholinyl)phenyl}-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

328535-40-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholiny1)pheny1]-17-(3,3,3-trifluoro-1-propyny1)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328535-41-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(4-ex-prophinyl)phenyl]-, 3-oxime, (11.beta.)- (9C) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-54-2 CAPLUS Estra-4, 9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-55-3 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328535-58-6 CAPLUS Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-59-7 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328535-56-4 CAPLUS Estra-4,9-dien-3-one, 17-{3-hydroxy-1-propynyl)-11-{4-(4-morpholinyl)phenyl}-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-57-5 CAPLUS

Sets 1-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

328535-89-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

328535-90-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-91-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) [4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

328535-92-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328535-94-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328536-22-7 CAPLUS
Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17[3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

328536-23-8 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-24-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(1-

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328535-96-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328536-20-5 CAPLUS

Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-25-0 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-26-1 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-proppynyl)-17-(1-oxopropyl)-11-{4-(1-piperidinyl)phenyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328536-56-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-57-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pytrolidinyl)]+penyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328536-61-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-74-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328536-58-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-(4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

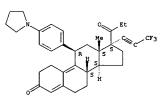
328536-59-0 CAPLUS
19-Norpregna-4, 9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-[4-(1-propynyl)-1]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-60-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pytrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)



328536-76-1 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-77-2 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxoptopyl)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

328536-78-3 CAPUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-17-

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 328536-79-4 CAPLUS
CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 328537-07-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 328537-10-6 CAPLUS .
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4morpholinyl)phenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 328537-11-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 328537-08-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene, 21-(acetyloxy)-11-[4-(4morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 328537-09-3 CAPLUS
CN 19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-(4-(4-morpholinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 328537-12-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 328537-25-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSVER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 328537-27-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-29-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-piperidinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-31-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-48-0 CAPLUS
13-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-[4-(1-pyrrolidnyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-49-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

328537-50-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-33-3 _CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328537-35-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN NAME) (Continued)

Absolute stereochemistry.

328537-51-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-(4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-52-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-53-7 CAPLUS

19-Norpregna-4,9-diene-3,20-dione, 21-{acetyloxy}-17-{3-hydroxy-1-propynyl}-11-{4-(1-pyrrolidinyl)phenyl}-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
273210-21-2P 273210-22-3P 273210-23-4P
273210-36-9P 273210-37-0P 273210-31-1P
273210-36-9P 273210-55-2P 273210-41-6P
273210-57-4P 273210-55-5P 273210-55-6P
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepar. of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids with antiprogestational activity);
273208-59-6 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 11-(4-aminophenyl)-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

2/3208-60-9 CAPUS
19-Norpregna-4,9-diene-3,20-diene, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

273208-61-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(5):
FATENT ASSIGNEE(5):
SOURCE:

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FATENT INSPARATION:
FATENT INSPARATION:
FATENT INSPARATION:
FATENT INSPARATION:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, SE, MC, PT, 1E, SI, LT, LV, FI, RO
NZ 512697 A 20030131 NZ,1999-512697 19991203
PT 1135403 T 20031231 PT 1999-9964047 19991203
ORITY APPLN. INFO:

WA SIZE STATE PRIORITY APPLN. INFO.: OTHER SOURCE(S):

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

273208-62-1 CAPLUS Pl-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273208-63-2 CAPLUS
19-Worptegna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluorol-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

Pl-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

273208-77-8 CAPLUS
Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

273208-78-9 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

273208-79-0 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 273208-82-5 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-17-(1-oxopropy1)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-12-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-13-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-14-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-17-(3-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

273208-80-3 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-,3-oxime, (11.beta.,17.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273208-81-4 CAPLUS Estra-4, 9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3, 3, 3-trifluoro-1-propynyl)-, 3-oxime, (11.beta., 17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-15-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-16-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

273209-17-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-17-(3-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273209-30-6 CAPLUS CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochémistry.

RN 273209-31-7 CAPLUS
CM Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 273209-35-1 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9C1) (CA
INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273209-67-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 273209-68-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

PN 273209-32-8 CAPLUS CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273209-33-9 CAPLUS

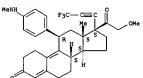
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 273209-34-0 CAPLUS
CN Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 273209-69-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 2/3209-70-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-(4-(methylamino)phenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273209-71-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAMF)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

273209-72-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-85-1 CAPLUS

Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-86-2 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

273209-90-8 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-(4-(methylamino)phenyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273210-18-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyi)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-19-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochamistry.

273209-87-3 CAPLUS
Estra-4,9-dien-3-one, 17-{3-hydroxy-1-propynyl})-11-[4-(methylamino)phenyl}17-{1-oxopropyl}-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-88-4 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-89-5 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-20-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-21-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aninophenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

H₂N

273210-22-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry. Double bond geometry unknown.

RN 273210-23-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-36-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 273210-40-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime,
(11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-41-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)-(9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 273210-54-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 273210-37-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)]henyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

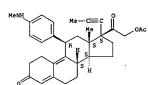
RN 273210-38-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-39-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4 (dimethylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.



Absolute stereochemistry.

RN 273210-56-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-57-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, 3-oxima, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Double bond geometry unknown.

RN 273210-58-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl)-17-[3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-59-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

CRN 240806-27-3 CMF C32 H41 N O5

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1999:576939 CAPLUS DOCUMENT NUMBER: 131:199885 Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties

Cook, C. Edgar, Kepler, John A.; Zhang, Ping-sheng,
Lee, Yue-vei; Tallent, C. Ray

Research Triangle Institute, USA

PCT Int. Appl., 95 pp.

CODEN: PIXXO2

Patent

Fannish INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English PATENT NO. PATENT NO. KIND DATE

WO 9945022 A1 19990910

V: AL, AM, AT, AU, AZ, BA, BB, BB, BR, BY, CA, CH, CN, CU, CZ, DE, UK, KE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL/ IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MM, MG, MM, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, IJ, TM, TR, TT, UA, UG, UZ, VM, YU, ZW, AM, AZ, BY, KG/ KZ, MD, RU, TJ, TM RV: GH, GM, KE, LS, FW, SD, SL, SZ, UG, ZW, AT, EE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GW, MI, MR, NE, SN, TD, TD

US 6020328 A 20000201

CA 2322862 AA 19990910

AU 767660 B2 20031120

EP 1060186 A1 19990305

AU 767660 B2 20031120

EP 1060186 A1 100001220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9908598 A 20011002

JP 2002503334 T2 20020219

RITY APPLN. INFO:: KIND DATE APPLICATION NO. DATE BR 1999-8598 19990305 JP 2000-534564 19990305 US 1998-35949 A 19980306 WO 1999-US3732 W 19990305 PRIORITY APPLN. INFO.: PRIORITY APPLN. INFO.:

/US 1998-35949 A 19980306

OTHER SOURCE(S):

MARRAT 131,199855

AB 20-Keto-11.beta.-arylsteroids, of formula I [X = 0, (substituted) NOH, H2, OH, etc., R1 = dialkylamino,/imidazolyl, pyrrolyl, piperidino, etc., R2 = H, halor R3 = H, Me, halor M = H, aryloxy, (substituted) OH, alkyl, etc., R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norprepar-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylanfline in several steps. The affinity of II for the progesterone hormone feceptor was IC50 of 0.7 nM.

IT 240805-28-49

RL: RCT (Reactant),/SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)

(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity) permit of the pe

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

Me₂N OHOET

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

CM 2 CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

L4 ANSWER 7 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
1997:740250 CAPLUS
127:358992
127:358992
1NVENTOR(S):
INVENTOR(S):
SOURCE:
Cessac, James W., Acosta, Carmie K.
Vinted States Dept. of Health and Human Services, USA;
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.;
Cessac, James W., Acosta, Carmie K.
Vinted States Dept. of Health and Human Services, USA;
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.;
Cessac, James W., Acosta, Carmie K.
PCT Int. Appl., 65 pp.
COEN: PIXXD2

DOCUMENT TYPE:

DOCUMENT TYPE: Patent English

WO 9741145 A1 19971106 WO 1997-US7373 19970

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CR, DK, EE, ES, FI, GB, GE, GH, RH, IL, IS, JP, KE, KG, LC, LR, LS, LT, LU, LY, MD, KG, KM, MM, KW, PT, RO, RU, SD, SE, SG, SK, TJ, TM, TR, TT, UA, WM, AZ, BY, KG, KZ, MD, RU, TM, TR, TT, UA, CR, LE, CH, LE, CR, LE

AT 1997 823523 19970430

JP 2000509396 T2 20000715

SE 2152671 T 2001020/
US 2002025951 M1 2002028 S 1999-180132 19990524

GR 3034562 3 20010130 US 1999-180132 19990524

GR 3034562 13 20010131 GR 2000-02252 20001004

RIORITY APPLN. INFO:

WARRAT 122:358997

JP 0999-18737 W 19970430

THER SOURCE(S):

Progesterone deriffs of from 18 1 [X1 - ONe SNe NMe2, NHMe, CHO, Ac, CHOHCH3; R2 - halb, alw1, acy1, 0/, alkoxy, tcd; R3 - OH, alky1, alkoxy, acy1cxy R4 - H, alky1, X - O, (substituted) NMH are preped, as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriciosis, to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was preped, from 3, 3-ethylenedioxy-17, beta. -cyano-17, alpha.-hydroxyestra-5(10), 9(11)-diene and 4-bromo-N, N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the anticlauberg test compared to CDB-2914. OTHER SOURCE(S):

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198414-03-8P 198414-05-0P 198414-11-8P
198414-22-1P 198414-32-3P 198414-33-6P
198414-34-5P 198414-39-0P 198414-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogentational agents)
198414-03-8 CAPLINGERS (April 1984); CAPLINGERS (APRIL 1984)

19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS

19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-11-8 CAPLUS

ANSWER OF DAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse), BSU (Biological actudy, unclassified), RCT (Reactant), SPN (Synthetic preparation), THU (Therapeutic-Use), BIOL (Biological study), PREP (Preparation), RACT (Reactant of reagent), USES (Uses) (preph) of progesterone derive, as antiprogestational agents)
398414-07-F CAPLUS
398414-07-F CAPLUS
398414-07-F CAPLUS
(Acceptagena-4, 9-diene-3, 20-dione, 17, 21-bis(acetyloxy)-11-[4-dimerhylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

stereochemistry.

198414-09-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-22-1 CAPLUS
Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry, Rotation (+).

198414-32-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-охоргороху)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-33-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxopcopoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198413-98-8 CAPLUS 19-Norpregna-4,9-diene-9,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta-)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19-Norpregna-4,9-diene-3,20-diene, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198414-43-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-96-6P 198413-97-7P 198413-98-8P 198413-99-9P 198414-00-5P 198414-21-0P 198414-30-1P 198414-38-9P 198414-42-5P RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preph. of progesterone derivs. as antiprogestational agents) 198413-96-6 CAPLUS 199413-96-6 CAPLUS 199413-96-9 (Preparation); RACT (dimethylamino) phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198414-00-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS
Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 198414-38-9 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, ll-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (ll.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

IT 198414-40-3P 198414-41-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 CAPLUS

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dinethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

09/526,855 Page 23

=> d ibib ab fqhit 1-14

```
L9 ANSWER 1 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

138:390583 MARRAT

TITLE:

Skin-lightening agents containing substances which reduce tyrosinase and cosmetics containing the agents SUNCS, Shigeru

PATENT ASSIGNEE(S):

Hikimoto Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JXXXAF

PATENT LANGUAGE:

PATENT NO. KIND DATE

PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE

APPLICATION NO DATE

ASSIGNEE(S):

PATENT NO. KIND DATE

APPLICATION NO DATE

APPLICATION NO DATE

COLORS

PATENT NO. KIND DATE

APPLICATION NO DATE

APPLICATION NO DATE

APPLICATION NO DATE

PATENT NO. KIND DATE

APPLICATION NO DATE

APPLICATION
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L9 ANSWER 2 OF 14 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G12 G18

G16 CH 3 H2

G16

G4 - C(O)

G12 - 41

4 C(O)CH2-OH

G16 - CN

DER: and pharmaceutically acceptable acid addition salts

MPL: Claim 4

NTE: Substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

ANSWER 1 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

- alkenyl<(-12)> (SO G13) - O claim 3

2^С (0)-СН2-ОН

G5 G7 MPL:

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L9 ANSWER 2 OF 14

ACCESSION NUMBER:

129:50105 MARPAT

129:50106 MARPAT

129:50106
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(Continued)

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L9 ANSWER 3 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
TITLE: 128:188669 MARPAT
Mixed agonists of the progesterone receptor and assays
for them
INVENTOR(S): HCDonald P.; Wagner, Brandee L.
Duke University, USA
PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                            Patent
English
1
                        PATENT NO.
                                                                                                KIND DATE
                                                                                                                                                                                           APPLICATION NO.
                        WO 9805679
                                                                                                   A2 19980212
                                                                                                                                                                                           WO 1997-US13754
WO 9805679 A2 19980212 WO 1997-US13754 19970805
W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, T, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO:.

B A third class of PR-ligand (i.e. mixed agonist) is identified which
induces a progesterone receptor conformation distinct from that induced by
a PR agonist or antagonist; the agonists are stra-4,9-dien-3-one derivs.
PR mixed agonists exhibit partial agonist activity which is influenced by
cell context. These compds. provide usefur pharmacol. profiles for
treating progesterone related diseases ad/or conditions, such as uterine
proliferation from estrogen administration, endometricsis, breast cancer,
fibroids, endometrial cancer, and bran meningiomas. The agonists can
also be used as contraceptives. Asyays are provided to screen for PR
mixed agonist. Mol. designs are provided to convert a PR antagonist to a
PR mixed agonist.
   G2
                                  - 30
    36 (o)⋅G3
                                     alkyl<(1-6)> (SO)
                                - CO2H
- 52
   Ğ9 ___
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ANSWER 4 OF 14 MARPAT COPYRIGHT 2004 ACS on STN - 103 103 616 or pharmaceutically acceptable addition salts or N-oxides claim 17

ANSWER 3 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

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L9 ANSWER 4 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or naccotic-induced withdrawal.

INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
COURN: PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
COURN: ENT. APPL., 30 pp.
COURNT TYPE: Patent
LANGUAGE: Patent
PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 2718354 Al 19951011 FR 1995-400764 19950406

R: AT, EE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
FR 2718354 Al 19950013 FR 1994-4156 19940408

FR 2718354 Bl 19960503

ZA 9502058 A 19960313 ZA 1995-2058 19950313

CA 2146600 AA 19951009 CA 1995-2146600 19950407

FI 9501683 A 1995109 FI 1995-16326 19950407

FU 971468 AZ 19951128 HU 1995-1019 19950407

HU 71468 AZ 19951128 HU 1995-1019 19950407

PRIORITY APPLN. INFO.: A 19960221 CN 1995-104015 19950407

PRIORITY APPLN. INFO.: A 19960221 C
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19 ANSWER 5 OF 14 MARPAT COPYRIGHT 2004 ACS on STN G13 - 36
     L9 ANSWER 5 OF 14
ACCESSION NUMBER:
1711LE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
123:218391 NARPAT
Steroide for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois; Gruol, Donald J.
SOURCE:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
123:218391 NARPAT
Steroid for resistance to cancer chemotherapeutic agents
Cohn Suzanne Bourgeois; Gruol, Donald J.
SOURCE:
DOCUMENT TYPE:

Patent
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     3€ (O)-CH2-OH
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     G16
MPL:
       DOCUMENT TYPE:
                                                                                                                                 Patent
      FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
WO 9517192 A1 19950629 WO 1994-US14624 19941219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KEP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, HW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, HW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9514395 A1 19950710 AU 1995-14395 19941219
PRIORITY APPLIN. INFO.:

AB Certain
                         MC, NL, PT, SE, BF, BJ, CF, CG, C1, CH, GA, GN, NL, ME, SN, TD, TG

AU 9514395

All 19950710

AU 1995-14395

BY 19941219

Certain steroid-like compds. [Ir Rl = Hr R2 - OR or RR2 = 10 R = H, lower alkyl, Me351; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR', Ct-18 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me351; R5 = H, OR; or X516C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CDS, C(O)CH2OH, Cusbtituted) hydrocarbyl, R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-associ. efflux pump which is considered reponsible for multidrug resistance. Chemotherapy can be enhanced by acilitating the accumulation of drug at the target site, with reduced of eliminated competition by the drug efflux system. Thus RU 38486, an aniprogestin, at 5 mu.M facilitated killing of multidrug-resistant S7CD 5 murine thymoma cells by 20 mu.M puromycin.
                MSTR 1B
      G1
G10
G11
                                                                      SO (1-2) G16)
       L9 ANSWER 6 OF 14 MARPAT COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 122:045423 MARPAT TITLE: TRIBLE ARE ALL OF THE ACCESSION NUMBER 122:045423 MARPAT TITLE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            ANSWER 6 OF 14 MARPAT COPYRIGHT 2004 ACS on STN = alkyl<(1-6)> (SO (1-) G12) = alkylcarbonyl<(1-5)> (SO (1-) G17) = 39
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          (Continued)
                                                                                                                                anxiety disorders
Peeters, Bernardus Wynand Hachijs Maria
Akzo Nobel N.V., Neth.
PCT Int. Appl., 25 pp.
CODEN: PIXXD2
       INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          G11
       DOCUMENT TYPE:
LANGUAGE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           G16
                                                                                                                                   Patent
                                                                                                                                English
1
       FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      MPL:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  claim 2
                                PATENT NO.
                                                                                                                   KIND DATE
                                                                                                                                                                                                                          APPLICATION NO. DATE
                            PATENT NO. KIND DATE APPLICATION NO. DATE

W9 5904536 A1 19950216 W0 1994-F2813 1994028

Y1 AM, AU, BB, BG, BR, BY, CA, CN, C2, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU SI, SX, TJ, TT, UX, MS, W2, KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GP, GR, IE, IT, LU, MC, ML, PT, SE, BF, BJ, CF, CG, CH, CH, GA, GN, ML, MR, NE, SN, TD, TG

AU 947966 A1 19950218

AU 687088 E2 19980219

EP 712311 A1 19961007

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 09501172 T2 19970204 JP 19940728

ES 2124905 T3 19990216 ES 1994-924819 19940728

ES 2124905 T3 19990216 ES 1994-924819 19940728

ES 1994-924819 19940728
                         EP 712311 B1 19981007
R: AT, BE, CH, DE, DK, ES, FR, CB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 09501172 T2 19970204 JP 1995-506200 19940728
AT 171873 E 19981015 AT 1994-924819 19940728
ES 2124905 T3 19990216 ES 1994-924819 19940728
US 5741787 A 19980471 US 1996-581631 19960118
EP 1993-202304 19930804
EP 1993-202304 19930804
EP 1994-924819 19940728
WO 1994-EPE513 19940728
Antiqlucocorticoid stepdids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11. beta. -[4-dimethy/mainopheny/l-71, beta.-qh/dcowy-17.alpha.-[prop-1-ynyl)-estra-4,9-dien-3-ofe (RU38486) was demonstrated in animal testing (antagonism of for-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention 1s also described.
       PRIORITY APPLN. INFO.:
```

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L9 ANSWER 7 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
Grandadam, Jean Andre
ROUSSEL-UCLAF, Fr.
EUr. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
       DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 446124 A2 19910911 EF 1991-400594 19910305
EP 446124 A3 19920527
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE
FR 2659233 A1 19910913 FR 1990-2783 19900306
FR 2659233 A1 19910913 FR 1990-2783 19900306
AU 9172608 A1 19910907 CA 1991-2037549 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 642975 B2 19931104
ZA 9101603 A 19920527 ZA 1991-1603 19910305
JP 04211610 A2 19920503 JP 1991-62496 19910305
AU 0237295 C1 19950619 RU 1991-62496 19910305
CN 1055665 A 19911030 CN 1991-02108 19910306
CN 1055665 A 19911030 CN 1991-102108 19910306
AB The title antiprogestomimetics are I (RI = CI-18 hydrocarbyl optionally substituted with .ytoreq.1 heteroatoms and bonded to the steroid by a C;
RZ = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Frepn. of 2 1 are described.

17. beta.-thydroxy-11. beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.
                                             PATENT NO.
                                                                                                                                                                          KIND DATE
                                                                                                                                                                                                                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                       DATE
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MSTR 1C

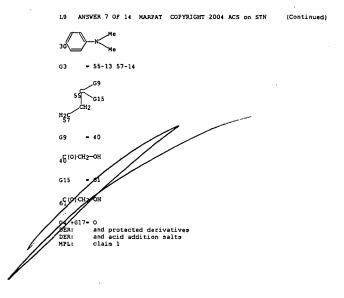
G1 - 30

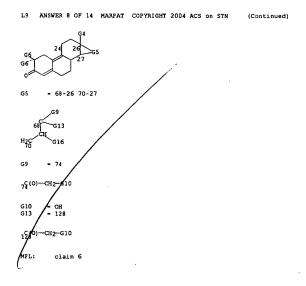
L9 ANSWER 8 OF 14	MARPAT	COPYRIGHT	2004 ACS on STN		
ACCESSION NUMBER:	11	5:214857 MA	RPAT		
TITLE:			rospheres containing metic steroids	antiestrogenic	and
INVENTOR(S):			Dubois, Jean Luc		
PATENT ASSIGNEE (S):		ussel-UCLAF.			
SOURCE:		r. Offen., 1			
		DEN: GWXXBX	· PP.		
DOCUMENT TYPE:		tent			
LANGUAGE:		rman			
FAMILY ACC. NUM. COUN					
PATENT INFORMATION:					

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
			•••••		
DE 4036425	A1	19910516	DE 1990-4036425	19901115	
FR 2654337	A1	19910517	FR 1989-14976	19891115	
FR 2654337	R1	19940805			

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	Α	19950415	AT 1990-2313	19901115
AT 400298	В	19951127		
RITY APPLN. INFO	.:		FR 1989-14976	19891115
Biodegradable m	icrosph	eres compris	e the title steroids	(Markush given)

Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyxed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CR2C12, and 0.5 g 17.beta.-hydroxy-11.beta.-{4-(dimethylamino)phenyl}-17.alpha.-{1-propynyl}estra-4.9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.





L9 ANSWER 9 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:151901 MARPAT
Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions

INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): SOURCE: COURT. Fr.
EUC. PATENT ASSIGNEE(S): COURT. PAT. Appl., 24 pp.
COURT TYPE: Pat. Appl., 24 pp.
COURT. EPEXOW DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

EP 417003 A2 19910313 EP 1990-402449 19900906
EP 417003 A3 19911204
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 B1 19940422
US 5173483 A 19921222 US 1990-578894 19900905
CA 2024728 AA 19910308 CA 1990-2024728 19900905
AU 9662259 A1 19910314 AU 1990-62259 19900907
AU 623805 B2 19920521
JP 03099015 A2 19910424 JP 1990-236004 19900907
JP 3032258 B2 20000410
PRIORITY APPLIN. INFO:
FR 1989-11699 19890907
ABAnti-progestomimetic compds., e.g. I [R1 = Cl-18 hydrocarbyl with optionally droreq.1 heteroatoms, bonded to the steroid by a Cr R2 = Cl-8 hydrocarbyl xX = rest of 5- or 6-membered (substituted) (unsatd.) cing;
AiC = oxo (free or in ketal), CH(OR), CH(OR3), CH(O2CR3), etc., R3 = Cl-8 altyl, C7-15 aralkyl B and C together-form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making phareaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with propestrone or a progestomimeetic, e.g. 3-oxo-17-alpha.-allyl-17-beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17-beta.-hydroxy-11.beta.-(d-dimethylaminophenyl)-17.alpha. allyl-propestomimetics is presented. PATENT NO. APPLICATION NO. DATE KIND DATE

MSTR 1E

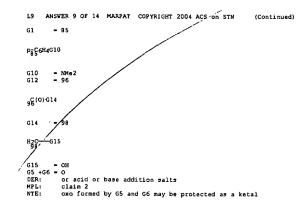
L9 ANSWER 10 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:9125 MARPAT Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylaminojalkanoates as antiglucocorticoids Moguilevsky, Martiner. Nedelec, Lucien; Nique, Francios; Philibert, Daniel Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
COUMENT TYPE: LANGUAGE: Patent French
FAMILY ACC. NUM. COUNT: 1
FAMENTINFORMATION: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		ENT NO.			DATE			AP	PLIC	ATIC	ON NO	ο.	DATE		
		414606			9910			EP	199	0-40	232	8	1990	0822	
	EP	414606		A3 1	9910	724									
	EP	414606	1	31 1	9941	102									
		R: 'AT,	BE, CH	DE.	DK, I	ES,	FR,	GB, (SR.	IT.	LI.	LU.	NL.	SE	
		2651233		A1 1											
	FR	2651233	1	31 1	9911	213									
	CA	2022648		VA 1	9910	224		CA	199	0-20	226	48	1990	0803	
	ZA	9006341		١ ١	9911	030		ZA	199	0-63	341		1990	0810	
	US	5166146	1	١ 1	9921	124							1990		
	JP	03090097		12 1	9910	416		JP	199	0-21	728	1	1990	0820	
	JP	3026997	1	32 2	20000	327						-			
		95451			9950			Ť I.	199	0-95	3451		1990	0821	
		9061189			9910						1189		1990		
	AU	634569			9930										
		54706	1		9910			ни	199	0-52	275		1990	1822	
		208154			9930			•••							
		2063313		3 1				ES	199	0-40	232	R	1990	1822	
		1051362		\							716		1990		
		1033808						•		• ••		•	-330	,,,,	
		2041236		1 1				RII	199	2-50	1115	11	1992	1518	
n		APPLN.									173		1989		
									198	J-11	11/3		1283	0023	
LE.	. 50	URCE(S):		CASI	EACT	115	:912	5							

R SOURCE(S):

CASREACT 115:9125
The title compds. [I Rl = aliph. hydrocarbyl: R2 = H, (un) substituted alkyl: R5, R6 = H, alkyl: X = atoms to complete an (un) substituted 5- or 6- membered ring: Z = (un) salisticed CO2H: n = 1-6) were prept. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCHZCO2Ne to give, after sapon., II (R = CHZCO2Ne, R5 = R6 = H) which at 10-6M in vitro gave 821 inhibition of uridine incorporation into rat thymocytes.

= 39-18 37-17



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L9 ANSWER 10 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
                                                               (Continued)
3<sup>G</sup>16-G10-3<sup>G</sup>H2
G10
     = (1-2) 45
G11-G12
G13
       = 53
59 (0)-CH2-OH
G16
     = 68
G13-C----G13
MPL:
         claim 1
```

L9 ANSWER 11 OF 14
ACCESSION NUMBER:

114:229227 MARPAT
Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them
Claussner, Andres Leclaire, Jacques Medelec, Lucien, Philibert, Daniel
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE EP 389370 EP 389370 A1 B1 19900926 19940427 EP 1990-400784 19900322 EP 389370 B1 19940427
R: CH, DE, FR, GB, IT, LI, NL
FR 2644789 B1 19950928
FR 2644789 B1 19950203
JP 02273693 A2 19901108
JP 28448907 B2 19990120
US 5108996 A 19920428 FR 1989-3742 19890322 JP 1990-68508 19900320

JP 2448907 B2 19990120 US 5108996 A 19920428 US 1990-497562 19900320 US 5108996 A 19920428 US 1990-497562 19900321 PRIORITY APPLN. INFO:: FR 1989-3742 19890322 OTHER SOUNCE(S): CASREACT 114:229227

AB The title compds. [I. Rl, R2 = H, Me; Rl] = (poly) (hetera) hydrocarby); one of Rl7 and Rl8 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl) were prepd. via reacting the halo derivs. Il or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-brono-1-propynyl, R4 = OH] (prepn. given) was reacted with 2.4-bis(1-pyrcolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. XCO3 at ambient temp. for 2 h to give V (R3 = 3-(4-(2,6-bis(1-pyrcolidinyl)-4-pyrimidinyl)-1-piperazinyl)-1-propynyl; R4 = OH]. At 5. times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by apprx.47.51.

MSTR 3

G2 - 107

L9 ANSWER 12 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
ITITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SCHCIE;
SOURCE:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
ARRPAT (13:115677 MARPAT (13:115677 MARPAT (13:115677 MARPAT (13:115677 MARPAT (13:115677 MARPAT (13:115115677 MARPAT (13:11515677 MARPAT (13:115115677 MARPAT (13:11515677 MARPAT (13:115115677 MARPAT (13:11515677 MARPAT (13:115115677 MARPAT (13:11511567

Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.		KIND	DATE		API	PLICATION NO.	DATE
	EP	3603	369		A1	19900328	:	EP	1989-250040	19890920
	EP	3603	369		B1	19950503	3			
								GR. 1	IT, LI, LU, NI	. SE
	DE	3832	2303		A1	19900412	,,	DE	1988-3832303	19880920
									1989-91672	
	wo	900	3385		Δ1	19900409		WO.	1989-EP1090	10000000
		w.	AII	UK	FT HI	, JP, NO.	116	-0	1983-EF 1090	13030320
						19900416			1989-43049	19890920
	Att	6404	116		W.1	19930902		AU	1909-43049	19890920
	7.0	0100	2101			19901031			1000 7101	
	24	090	1131		Α.	19901031		ZA	1989-7191	
	טט	2846	282		A5	19901121		DD	1989-332836	
						19911028		HU	1989-5541	19890920
	HU	2081	151		В	19930830	ı			
								JP	1989-509963	19890920
	JΡ	2760	870		B2	19980604				
						19950515		AT	1989-250040	19890920
	ES	2074	1073		Т3	19950901		ES	1989-250040	19890920
	NO	9101	102		A	19910319	+	NO	1991-1102	19910319
	DK	9100	504		A	19910320	1	DK	1991-504	19910320
$\stackrel{\sim}{\sim}$	US	5244	886		A	19910320 19930914		US	1991-663819.	19910320
	NO	9104	772		Α	19910319		NO	1991-4772	
101	RITY	APE	LN.	INFO.					1988-3832303	
									1989-EP1090	
									**** 01 1030	13030320

We iron-susizu3 19880920
W0 1989-EP1090 19890920
N0 1991-1102 19910319
ER SOURCE(S): CASREACT 113:115677
The title compds. [I 2 = 0, hydroxyiminor LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroxyl; or A = H and BD = CH2 and Z = HZ; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-Z-yloxy)-1-propyne was lithiated with Buli in HFF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = 0) (prepn. given) to give II (R3 = 0, R4 = OH) treated with 4 M HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3M, BD = CH2, LM = bond, Z = 0, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 (11.beta.-[4-(dimethylamino)phenyl]-=17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one). OTHER SOURCE(S):

MSTR 1A

ANSWER 11 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

OH piperazino claim 13 the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

G1 G4 - Hy<EC (1-2) Q (-2) N (-1) O (-1) S (3-4) C (0)
OTHERQ, AN (0) N, AR (1-), BD (2) DE, RC (1), RS (1) E5>
(SO (1-) G8)

= alkyl<(1-4)> = Me claim 1

L9 ANSWER 13 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
Scholz, Stefan, Ottow, Eckhard, Neef, Guenter; Elger, Walter; Beier, Sybiller Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Germany
Ger. Offen., 22 pp.
COUMENT TYPE: Patent
LANGUAGE: Patent
German

German 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT NO.		KIND	DATE	APPLICATION NO.	DATE
DE	3822770		A1	19900104	DE 1988-3822770	
IL	90826 1334668		A1	19940624	IL 1989-90826	
CA	1334668		A1	19950307	CA 1989-604596	19890630
EP	349481		A1	19900103	EP 1989-730155	19890703
EP	349481		B1	19951102		
	R: AT,	BE,	CH, DE	, ES, FR, GE	, GR, IT, LI, LU, N	L, SE
WO	9000174		A1	19900111	WO 1989-DE443	19890703
	W: AU,	FI,	HU, JP	, NO		
AU	8938568		A1	19900123	AU 1989-38568	19890703
AU	644060		B2	19931202		
ZA	8905058		Α	19900425		
	287511		A5	19910228	DD 1989-330342	
HU	56114		A2	19910729	HU 1989-4130	19890703
HU	208021		В	19930728		
DD	295638		A5	19911107		
	03505727			19911212	JP 1989-507188	19890703
JP	2956776		B2	19991004		
	5273971		A	19931228	US 1989-374809	
AT	129717		E	19951115	AT 1989-730155	
	2080079		Т3	19960201	ES 1989-730155	
	9005609			19910228	NO 1990-5609	19901227
	180451		В	19970113		
	180451		C	19970423		
	5446036		A	19950829	US 1993-144474	19931102
FI	9504856		A	19951012	FI 1995-4856	19951012
NO	9600829		A	19910228		
PRIORIT	Y APPLN.	INFO.	.:		DE 1988-3822770	
					US 1989-374809	
					WO 1989-DE443	19890703
					NO 1990-5609	
					FI 1990-6441	10001229

The title compds. [Ir Rl = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.: R2 = .alpha.-, .beta.-Me, -Etr. R3,R4 = alkowy, acyl, oxofuryl, alkynyl, etc.: Z = O, NOH], antigetsquess and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11) unsatd. steroids with p-RICGH4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHCGH4X (X = Br, iodo) gave I [Rl = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CMe2CH2O], which was hydrolyzed to give I [Z = O, Rl-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

L9 ANSWER 14 OF 14
ACCESSION NUMBER:
110:213172 MARPAT
110:213172 MARPAT
111LE:
13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
Neef, Guenter; Wiechert, Rudolf; Beier, Sybille;
Elger, Walter; Henderson, David
SOURCE:
U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	Α	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.	:		DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			IIS 1084-621308	19940615

UE 1994-941305 19940010
UE 1994-921305 19940015
UE 1994-921305 19940015
UE 1994-921306 19941218

OTHER SOURCE(S):

CASREACT 110:213172

AB 13.alpha.-Alkylgonanes [Ir R = C1-4 acyl; X = 0, NOH; II; R1 = amino; R2 = H, Me, Etr R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = Q; R5 = H, alkyl; III; Z = CHZCHZ, CHZCMeZCHZ], having antigestagenic activity and useful as postociata contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem.

epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated conty. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR 2

= Hy<RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, ED (ALL) SE> 59

5G(0)-CH2-G11

G8 G11

ANSWER 13 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

= pyrrolyl (SO (1-) G5)
= 37

35 (O)-CH2-G10

G7 G10 MPL: NTE: # Me
alkyl<(1-4)>
claim 1

substitution is restricted

ANSWER 14 OF 14 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

eg/

= 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE> and acid addition salts GGA

DER:

=> d his

(FILE 'HOME' ENTERED AT 08:10:41 ON 24 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:10:56 ON 24 MAR 2004

L1 STRUCTURE UPLOADED

L2 9 S L1

L3 163 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:11:56 ON 24 MAR 2004

L4 7 S L3

FILE 'BEILSTEIN' ENTERED AT 08:17:49 ON 24 MAR 2004

L5 0 S L1 FULL

FILE 'USPATFULL' ENTERED AT 08:18:24 ON 24 MAR 2004

L6 4 S L3 FULL

L7 0 S L6 NOT L4

FILE 'MARPAT' ENTERED AT 08:18:45 ON 24 MAR 2004

L8 19 S L3 FULL

L9 14 S L8 NOT L4